

## WEST Search History

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DATE: Wednesday, January 02, 2008

Hide?	<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>
		<i>DB=PGPB,USPT; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L24	L23 and (@AD<20020823 or @PRAD<20020823 or @RLAD<20020823)	49
<input type="checkbox"/>	L23	L21 and (hyperglycem\$ or diabet\$)	80
<input type="checkbox"/>	L22	L21 and (sodium-dependent glucose cotransporter)	3
<input type="checkbox"/>	L21	L19 and (pyrazole)	121
<input type="checkbox"/>	L20	L19 and (\$pyrazole.ab. or \$pyrazole.clm.)	42
<input type="checkbox"/>	L19	514/27.icls. or 514/27.ccls. or 536/17.4.icls. or 536/17.4.ccls.	1767
<input type="checkbox"/>	L18	L17 and pyrazole	26
<input type="checkbox"/>	L17	Kissei.as.	119

END OF SEARCH HISTORY

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008

L1 STRUCTURE UPLOADED

L2 10 S L1

L3 261 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:12:04 ON 02 JAN 2008

L4 2 S L3

=> file registry  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 JAN 2008 HIGHEST RN 959833-82-0  
DICTIONARY FILE UPDATES: 1 JAN 2008 HIGHEST RN 959833-82-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

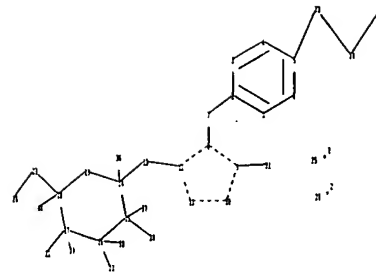
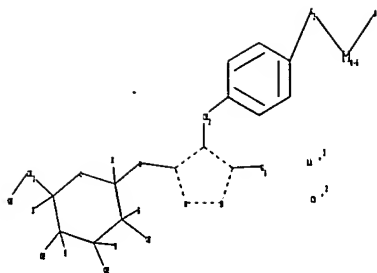
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10525197generic.str



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chain nodes :
7 13 20 21 22 23 24 25 28 31 33 34 35 36 37 38 39 40
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 14 15 16 17 18 19
chain bonds :
2-7 5-33 7-8 9-31 12-13 13-14 14-36 15-20 15-37 16-21 16-38 17-22 17-39
18-23 18-40 23-24 33-34 34-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-19 15-16
16-17 17-18 18-19
exact/norm bonds :
5-33 8-9 8-12 9-10 9-31 10-11 11-12 12-13 13-14 14-15 14-19 15-16 15-20
16-17 16-21 17-18 17-22 18-19 33-34 34-35
exact bonds :
2-7 7-8 14-36 15-37 16-38 17-39 18-23 18-40 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

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G1: [\*1], [\*2]

G2: O, S, C

Connectivity :

25:1 X maximum RC ring/chain 28:0 E exact RC ring/chain  
 Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:CLASS 21:CLASS  
 22:CLASS 23:CLASS 24:CLASS 25:CLASS 28:Atom 31:CLASS 33:CLASS 34:CLASS  
 35:CLASS 36:CLASS  
 37:CLASS 38:CLASS 39:CLASS 40:CLASS  
 Generic attributes :  
 25:  
 Number of Carbon Atoms : less than 7  
 28:  
 Saturation : Saturated  
 Number of Carbon Atoms : less than 7  
 Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> s l1  
 SAMPLE SEARCH INITIATED 14:11:13 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 10 ANSWERS  
 SEARCH TIME: 00.00.01

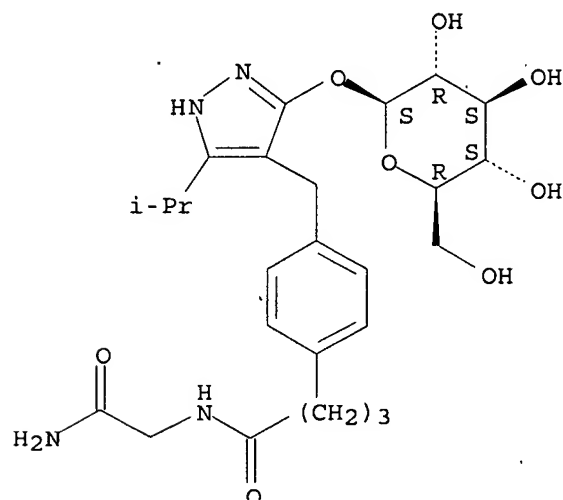
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 243 TO 877  
 PROJECTED ANSWERS: 11 TO 389

L2 10 SEA SSS SAM L1

=> d l2 scan

L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-  
 MF C25 H36 N4 O8

Absolute stereochemistry.



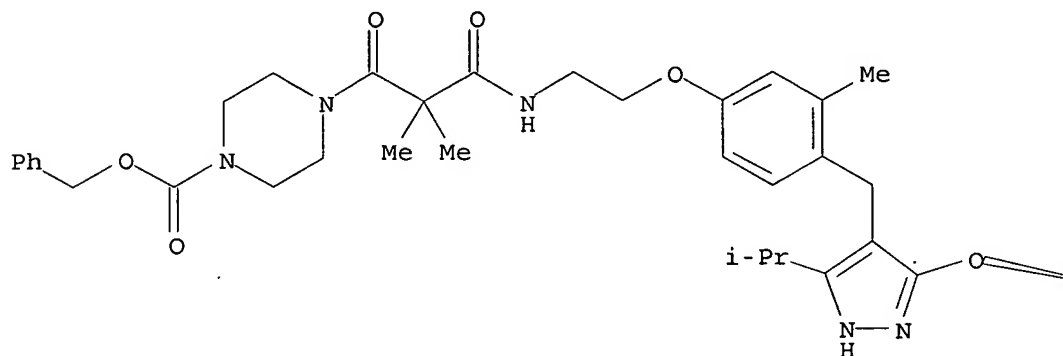
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HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

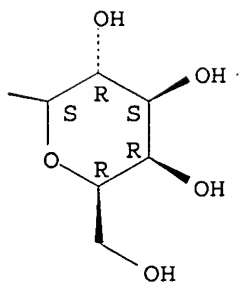
L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Piperazinecarboxylic acid, 4-[3-[[2-[4-[[3-( $\beta$ -D-galactopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]amino]-2,2-dimethyl-1,3-dioxopropyl]-, phenylmethyl ester  
MF C39 H53 N5 O11

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

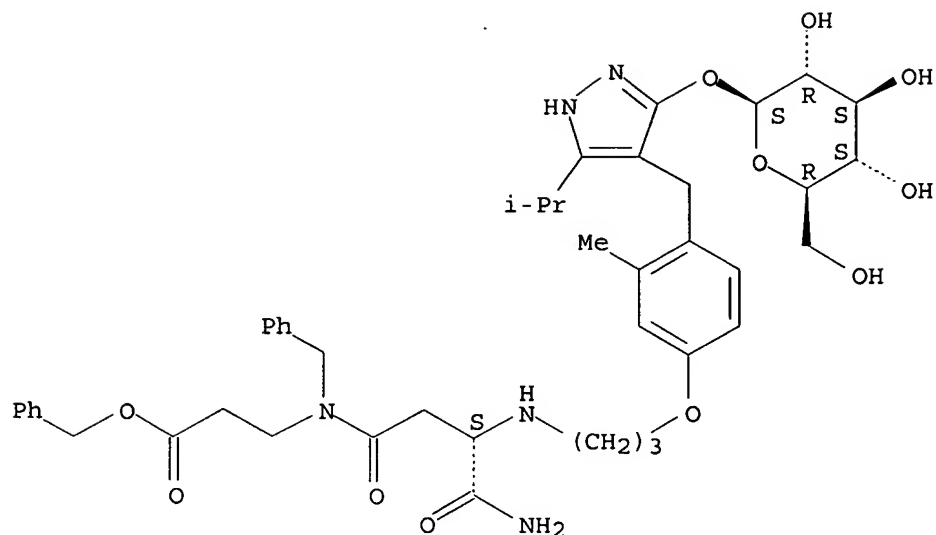


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 10 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN  $\beta$ -Alanine, N2-[3-[4-[[3-( $\beta$ -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]propyl]-L- $\alpha$ -

asparaginyl-N-(phenylmethyl)-, phenylmethyl ester (9CI)  
MF C44 H57 N5 O11

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full

FULL SEARCH INITIATED 14:12:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 657 TO ITERATE

100.0% PROCESSED 657 ITERATIONS

261 ANSWERS

SEARCH TIME: 00.00.01

L3 261 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.82

179.03

FILE 'CAPLUS' ENTERED AT 14:12:04 ON 02 JAN 2008

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FILE COVERS 1907 - 2 Jan 2008 VOL 148 ISS 1

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=> s l3

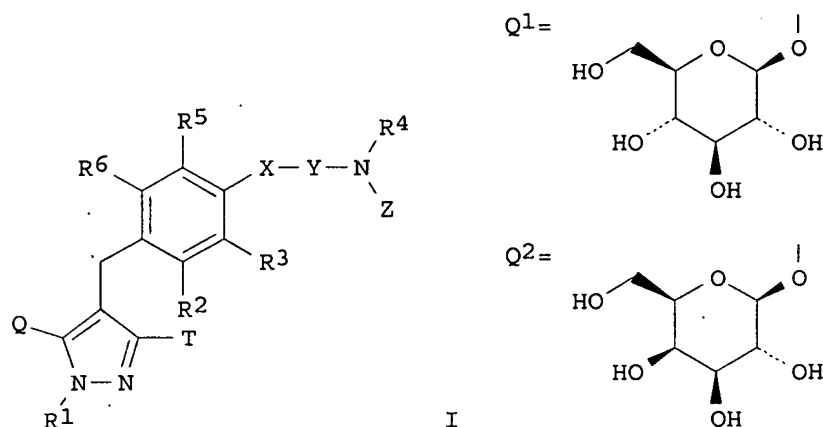
L4 2 L3

=> d l4 1-2 ti abs bib hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

GI



AB Pyrazole derivs. represented by the general formula (I) [R<sup>1</sup> = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q<sup>1</sup> or Q<sup>2</sup> and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R<sup>2</sup> = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO<sub>2</sub>RC, CO(RD)RE, SO<sub>2</sub>NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R<sup>4</sup>, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR<sup>4</sup>RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R<sup>3</sup>, R<sup>5</sup>, R<sup>6</sup> = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl)methyl]-5-isopropyl-1H-



pyrazole in vitro inhibited the uptake of [14C]methyl  $\alpha$ -D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-( $\beta$ -D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303 $\pm$ 63 (control) to 165 $\pm$ 17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

AN 2004:182896 CAPLUS <<LOGINID::20080102>>

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DT Patent

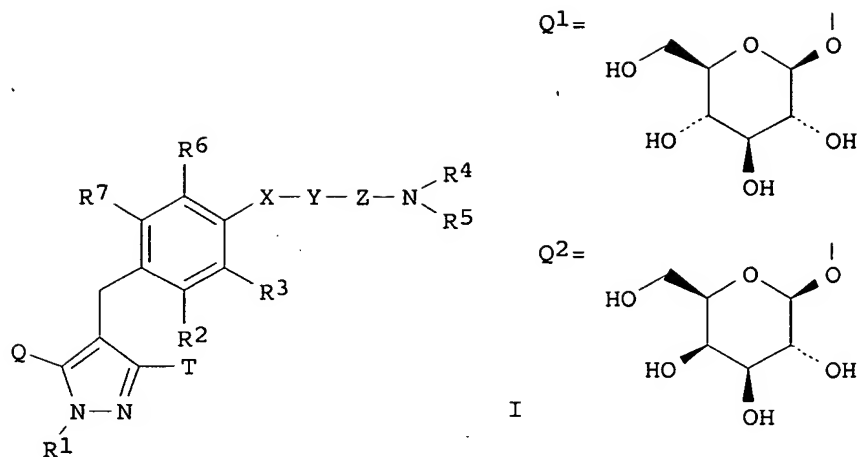
LA Japanese

FAN.CNT 1

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	EP 1548024	A1	20050629	EP 2003-792760	20030821
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	WO 2003-JP10551	W	20030821		
	IN 2005-DN666	A3	20050221		
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L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN  
TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives  
inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal  
composition containing the same, medicinal use thereof, and intermediate  
for production thereof  
GI



AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbamoyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297±35 to 178±19 mg/dL in 1 h.

AN 2004:143172 CAPLUS <<LOGINID::20080102>>

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotaka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OS MARPAT 140:199632

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 661479-93-2P 661479-94-3P 661479-95-4P  
 661479-96-5P 661479-97-6P 661479-98-7P  
 661479-99-8P 661480-03-1P 661480-04-2P  
 661480-05-3P 661480-07-5P 661480-08-6P  
 661480-09-7P 661480-10-0P 661480-12-2P  
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 661480-16-6P 661480-17-7P 661480-18-8P  
 661480-19-9P 661480-20-2P 661480-21-3P  
 661480-22-4P 661480-24-6P 661480-25-7P  
 661480-26-8P 661480-27-9P 661480-29-1P  
 661480-32-6P 661480-35-9P 661480-37-1P  
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 661480-43-9P 661480-44-0P 661480-46-2P  
 661480-48-4P 661480-49-5P 661480-51-9P  
 661480-53-1P 661480-54-2P 661480-55-3P  
 661480-56-4P 661480-57-5P 661480-58-6P  
 661480-59-7P 661480-60-0P 661480-62-2P  
 661480-63-3P 661480-64-4P 661480-65-5P  
 661480-66-6P 661480-67-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs.  
 inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for  
 preventives or therapeutics for diseases related to hyperglycemia or  
 galactosemia)

RN 661479-26-1 CAPLUS

CN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-(β-D-  
 glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX

NAME)

FILE 'REGISTRY' ENTERED AT 14:10:56 ON 02 JAN 2008

L1           STRUCTURE UPLOADED

L2           10 S L1

L3           261 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:12:04 ON 02 JAN 2008

L4           2 S L3